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 $R^2$   $R^3$  A - C - N - D - E - G  $R^4$   $(O)_k$  (I)

wherein:

 $R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_4$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_2$ - $C_4$ -alkanoyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_2$ - $C_5$ -alkoxycarbonyl, aminocarbonyl,  $C_3$ - $C_9$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy,  $NR^5R^6$ , and bridged  $R^1R^2$  wherein

 $R^{\scriptscriptstyle 5}$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-alkyl}\,;$  and

 $R^6$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}alkyl$ ;

 $R^2$  is selected from the group consisting of hydrogen, halogen,  $C_1\text{-}C_6\text{-}alkyl$ , trifluoromethyl and hydroxy and bridged  $R^1R^2$ ;

wherein

bridged  $R^1R^2$  is where  $R^1R^2$  are adjacent and form a bridge which is selected from the group consisting of  $-(CH_2)_4-$ ,  $-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-$ ; wherein

R' is selected from the group consisting of hydrogen, and

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 $C_1-C_6$ -alkyl; and

 $R^{\theta}$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}\text{alkyl}\text{;}$ 

 $R^3$  is selected from the group consisting of hydrogen, halogen and  $C_1\text{-}C_6\text{-}alkyl$ ;

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of  $C_2$ - $C_6$ -alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,  $C_4$ - $C_6$ -alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and ethinylene;

D is selected from the group consisting of

 $C_1-C_{10}$ -alkylene,

a substituted  $C_1$ - $C_{10}$ -alkylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

 $C_2$ - $C_{10}$ -alkenylene,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

a  $C_2$ - $C_{10}$ -alkenylene wherein the double bond is to ring E,

a substituted C2-C10-alkenylene which is substituted once

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or twice by  $C_1$ - $C_3$ -alkyl or hydroxy, wherein the double bond is to E,

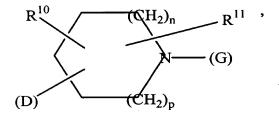
 $C_3-C_{10}$ -alkinylene,

a substitued  $C_3$ - $C_{10}$ -alkinylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

a  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the  $C_1$  to  $C_{10}$  group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR $^9$ , CO, SO or SO $_2$ ; wherein

 $R^9$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-alkyl},\ C_2\text{-}C_6\text{-acyl}$  and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein  $n + p \le 3$ ,

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-alkyl},$  hydroxy, hydroxymethyl, carboxy and  $C_2\text{-}C_7\text{-}$  alkoxycarbonyl;

 $R^{\text{11}}$  is selected from the group consisting of hydrogen and

an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

G1 is  $-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$  wherein

r is 0, 1 or 2, and

s is 0 or 1,

 $R^{12}$  is selected from the group consisting of hydrogen,  $C_1 - C_6 - alkyl \, , \\ C_3 - C_6 - alkenyl \, ,$ 

 $C_3-C_6$ -alkinyl,

 $C_3-C_8$ -cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O, which heterocycles are either bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms

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 $\mathcal{D}^{I}$ 

and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the carbocyclic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring, and either directly or over a methylene group;

 ${\ensuremath{R^{13}}}$  has the same meaning as  ${\ensuremath{R^{12}}}$ , but is selected independently thereof,

 $R^{14}$  is selected from the group consisting of hydrogen, hydroxy,

methyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group;

G2 is selected from the group consisting of

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- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$ 

P

- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

O

and

wherein  $R^{12}$  and  $R^{14}$  have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eightmembered heterocycles,

saturated and unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

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G3 is  $-SO_2 - (CH_2)_r - R^{12}$ ,

G4 is

P'

$$O = Ar^{1}$$

$$Ar^{2}$$

wherein

Ar is selected from the group consisting of phenyl, pridyl and naphthyl; and

Ar is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is  $-COR^{15}$ ,

wherein

 $R^{15}\,$  is selected from the group consisting of trifluoromethyl,  $C_1-C_6-alkoxy,$   $C_3-C_6-alkenyloxy$  and benzyloxy; and

wherein aromatic rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  are unsubstituted or substituted, the substituted rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  having one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, and a substituted  $C_1$ - $C_6$ -alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -

alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino, wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

43. (Twice amended) A compound according to claim 42, wherein:

 $R^1$  is selected from the group consisting of hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, ethylthio, methoxycarbonyl, tert-butoxycarbonyl, aminocarbonyl, carboxy, and phenoxy,

 ${\ensuremath{\mathsf{R}}}^2$  is selected from the group consisting of hydrogen, halogen, trifluoromethyl and hydroxy,

R<sup>3</sup> is hydrogen or halogen,

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl, hydroxy and  $C_1$ - $C_3$ -alkoxy,

k is 0 or 1,

A is selected from the group consisting of  $\text{C}_2\text{-}\text{C}_6\text{-}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, hydroxy or fluorine,

a C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted by  $C_1$ - $C_3$ -alkyl or by 1 or 2 fluorine atoms,

1,3,5-hexatrienylene, and

a substituted 1,3,5-hexatrienylene which is substituted

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by fluorine,

D is selected from the group consisting of  $C_1\mbox{-}C_8\mbox{-}$  alkylene,

a substituted  $C_1$ - $C_8$ -alkylene which is substituted once or twice by methyl or hydroxy,

 $C_2$ - $C_8$ -alkenylene,

a substituted  $C_2$ - $C_8$ -alkenylene which is substituted once or twice by methyl or hydroxy,

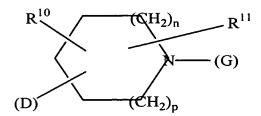
an E double bonded substituted  $C_2$ - $C_8$ -alkenylene which has a double bond to ring E,

C3-C8-alkinylene,

a substituted  $C_3$ - $C_8$ -alkinylene which is substituted once or twice by methyl or hydroxy, and

a  $C_1$  to  $C_8$  group selected from the group consisting of  $C_1$ - $C_8$ -alkylene,  $C_2$ - $C_8$ -alkenylene and  $C_3$ - $C_8$ -alkinylene, the  $C_1$  to  $C_8$  group having methylene units wherein one to three methylene units are isosterically replaced by O, S, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>), CO, SO or SO<sub>2</sub>,

## E is



wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein  $n + p \le 3$ ,

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl, hydroxy, hydroxymethyl, carboxy and  $C_2$ - $C_7$ -alkoxycarbonyl;

D

 ${\tt R}^{11}$  is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

G1 is  $-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$ wherein

r is 0, 1 or 2, and s is 0 or 1,

is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ alkyl, C3-C8-cycloalkyl, benzyl, phenyl, benzocyclobutyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl, oxotetrahydrodibenzocyclooctenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl,

dioxoindolinyl, benzoxazolyl, oxobenzoxazolinyl, benzisoxazolyl, oxobenzisoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzoisothiazolyl, oxobenzoisothiazolinyl, benzimidazolyl, oxobenzimidazolinyl, indazolyl, oxoindazolinyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolinyl, tetrahydroguinolyl, oxotetrahydroguinolinyl, benzodioxanyl, quinoxalinyl, quinazolinyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, oxodihydrodibenzoxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydropyridobenzodiazepinyl, dihydrodibenzoxazepinyl, dihydropyridobenzoxepinyl, dihydropyridobenzoxazepinyl, oxodihydropyridobenzoxazepinyl, dihydrodibenzothiazepinyl, oxodihydrodibenzothiazepinyl, dihydropyridobenzothiazepinyl, and oxodihydropyridobenzothiazepinyl,

 $\mathbb{R}^{13}$  has the same meaning as  $\mathbb{R}^{12}$ , but is selected independently therefrom,

 ${
m R}^{14}$  is selected from the group consisting of hydrogen,

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hydroxy, methyl, benzyl, phenyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl, and tetrahydroquinolyl,

G2 is selected from the group consisting of

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$  ,
O

- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

and

wherein R<sup>12</sup> and R<sup>14</sup> have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of azetidine, pyrrolidine, piperidine, (1H) tetrahydropyridine, hexahydroazepine, (1H) tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine, thiomorpholine-1,1-

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dioxide, 5-aza-bicyclo[2.1.1]hexane, 2-azabicyclo[2.2.1]heptane, 7-aza-bicyclo[2.2.1]heptane, 2,5-diazabicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-azabicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, 9azabicyclo[3.3.1] nonane, indoline, isoindoline, (1H) dihydroquinoline, (1H)-tetrahydroquinoline, (2H)tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)tetrahydrobenzo[b]oxazepine, (5H)tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9Hpyrido[3,4-b]indole, (10H)-dihydroacridine, 1,2,3,4tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H) -dibenzazepine, (5H) -dihydrodibenzazepine, octahydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)dihydrodibenzo[b,e]oxazepine, (11H)dihydrodibenzo[b,e]thiazepine, (10H)dihydrodibenzo[b,f]oxazepine, (10H)dihydrodibenzo[b,f]thiazepine, (5H)-tetrahydrodibenzazocine,

G3 is 
$$-SO_2 - (CH_2)_r - R^{12}$$
,

G4 is

$$O = Ar^1$$

$$Ar^2$$

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wherein

Ar<sup>1</sup> and

Ar are selected independently of each other from the group consisting of phenyl, pyridyl and naphthyl;

G5 is -COR<sup>15</sup>

wherein

 $R^{15}$  is selected from the group consisting of trifluoromethyl,  $C_1\text{-}C_6\text{-}alkoxy,\ C_3\text{-}C_6\text{-}alkenyloxy}$  and benzyloxy; and

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, and a substituted  $C_1$ - $C_6$ -alkoxy which is entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino.

44. (Twice amended) A compound according to claim 43 wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy, methoxy and methoxycarbonyl,

R<sup>2</sup> is hydrogen or halogen,

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R<sup>3</sup> is hydrogen,

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl and hydroxy,

k is 0 or 1,

A is selected from the group consisting of  $C_2$ - $C_6$ -alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted once or twice by hydroxy or fluorine,

 $C_4-C_6$ -alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted by one or two fluorine atoms, and

1,3,5-hexatrienylene

D is selected from the group consisting of  $C_2$ - $C_8$ -alkylene,

a substituted  $C_2$ - $C_8$ -alkylene which is substituted by methyl or hydroxy

C2-C8-alkenylene,

a substituted  $C_2$ - $C_8$ -alkenylene which is substituted by methyl or hydroxy,

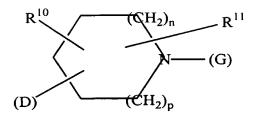
a C2-C8-alkenylene wherein the double bond is to ring E,

a substituted  $C_2$ - $C_8$ -alkenylene which is substituted by methyl or hydroxy, wherein the double bond is to ring E,

a C2 to C8 group selected from the group consisting of  $C_2$ - $C_8$ -alkylene and  $C_2$ - $C_8$ -alkenylene, the  $C_2$  to  $C_8$  group having methylene units wherein one to three of the methylene units are isosterically replaced by O, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>) or CO,

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E is



wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein  $n + p \le 3$ ,

 $\mbox{\sc R}^{\mbox{\scriptsize 10}}$  is selected from the group consisting of hydrogen, methyl and hydroxyl,

 $\ensuremath{\text{R}^{11}}$  is hydrogen or an oxo group adjacent to the nitrogen atom,

G is selected from the group consisting of hydrogen,  $C_3$ - $C_8$ -cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenylphosphinoyl,

$$-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$$
,

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$  ,
O

- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

and

$$-SO_2 - (CH_2)_r R^{12}$$
,

wherein

r is 0, 1 or 2,

 $\mathcal{Q}'$ 

s is 0 or 1,

is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, flourenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl bound directly or over a methylene group, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolinyl, benzisoxazolyl, oxobenzisoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzoisothiazolyl, oxobenzoisothiazolinyl, benzimidazolyl, oxobenzimidazolinyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolinyl, tetrahydroquinolyl, oxotetrahydroquinolinyl, benzodioxanyl, quinoxalinyl, quinazolinyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl,

acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydrodibenzothiazepinyl, and oxodihydrodibenzothiazepinyl,

 $\mathbb{R}^{13}$  is selected from the group consisting of hydrogen, methyl, benzyl and phenyl,

R<sup>14</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl, naphthyl, furyl, thienyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl and tetrahydroquinolyl,

wherein in formula  $\begin{array}{c} \text{-} C \text{-} (CH_2)_r \text{-} NR^{12}R^{14} \\ \parallel \\ O \end{array}$ 

-NR<sup>12</sup>R<sup>14</sup> may be selected from the group consisting of pyrrolidine, piperidine, (1H)-tetrahydropyridine, hexahydroazepine, octahydroazocine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, 2-azabicyclo[2.2.1]heptane, 7-azabicyclo[2.2.1]heptane, 2,5-diazabicyclo[2.2.1]heptane, 8-azabicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-

*/* 

tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]oxazepine, dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine and (5H)-tetrahydrodibenzazocine,

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, and a substituted  $C_1$ - $C_6$ -alkoxy entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino.

45. (Twice amended) A compound according to claim 44, wherein

 ${\bf R}^1$  is selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl and hydroxy,

 $R^2$  and

R<sup>3</sup> are hydrogen,

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R4 is hydrogen or hydroxy,

k is 0 or 1,

P

- A is selected from the group consisting of  $C_2$ - $C_4$ -alkenylene,
  - 1,3-butadienylene,
  - a  $C_2$ - $C_4$ -alkenylene substituted by fluorine, and
  - a 1,3-butadienylene substituted by fluorine,
- Is selected from the group consisting of  $C_2$ - $C_6$ -alkylene,  $C_2$ - $C_6$ -alkenylene, and  $C_2$ - $C_6$ -alkenylene wherein the double bond of the  $C_2$ - $C_6$ -alkenylene is to ring E, and
- a  $C_2$  to  $C_6$  group selected from the group consisting of  $C_2$ - $C_6$ -alkylene and  $C_2$ - $C_6$ -alkenylene, the  $C_2$  to  $C_6$  group having a methylene unit, wherein the methylene unit is isosterically replaced by O, NH, N(CH<sub>3</sub>) or CO, or the  $C_2$  to  $C_6$  group having an ethylene group which is isosterically replaced by NH-CO or CO-NH, or the  $C_2$  to  $C_6$  group having a propylene group which is isosterically replaced by NH-CO-O or O-CO-NH,
- E is selected from the group consisting of piperidine, and a substituted piperidine wherein the heterocyclic ring is substituted by an oxo group adjacent to the nitrogen atom,
- G is selected from the group consisting of hydrogen, tertbutoxycarbonyl, diphenylphosphinoyl,

$$---(CH_2)_r^--(CR^{13}R^{14})_s^--R^{12}$$
 (G1),

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$$--C-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$$
O
(G2a),

D'

$$-C-(CH_2)_r-NR^{12}R^{14}$$
O
(G2b),

and

$$--SO_2--(CH_2)_r R^{12}$$
 (G3)

wherein

r is 0 or 1,

s is 0 or 1,

R12 is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, and dihydrodibenzocycloheptenyl, bound directly or over a methylene group, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzimidazolyl, oxobenzimidazolinyl, benzofurazanyl, benzotriazolyl; oxazolopyridyl,

oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, chromanyl, chromanyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolinyl, tetrahydroquinolyl, oxotetrahydroquinolinyl, benzodioxanyl, quinazolinyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrodibenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, and

R<sup>13</sup> is selected from the group consisting of hydrogen, methyl, benzyl and phenyl,

R<sup>14</sup> is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl, naphthyl, furyl, thienyl, pyridyl, benzofuryl, benzothienyl, indolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl and tetrahydroquinolyl,

wherein in the formula

dihydrodibenzothiazepinyl,

$$--$$
C $-(CH_2)_r$  $-NR^{12}R^{14}$ O

(G2b)

-NR<sup>12</sup>R<sup>14</sup> may be selected from pyrrolidine, piperidine, hexahydroazepine, morpholine, 2,5-diazabicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-

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tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine,
(5H)-tetrahydrobenzo[b]oxazepine, (5H)tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydroacridanone,
(5H)-dihydrodibenzazepine, (11H)-dihydrodibenzo[b,e]oxazepine
and (11H)-dihydrodibenzo[b,e]thiazepine,

wherein aromatic rings are substituted or unsubstituted, independently of each other, by one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, a substituted  $C_1$ - $C_6$ -alkoxy which is entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino and di- $(C_1$ - $C_6$ -alkyl)-amino.

- 46. (Twice amended) A compound according to claim 45, wherein:
- R<sup>1</sup> is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl and hydroxy,
- $\mathbb{R}^2$  and
- R<sup>3</sup> are hydrogen,
- R<sup>4</sup> is hydrogen or hydroxy,
- k is 0,
- A is ethenylene or 1,3-butadienylene
- D is selected from the group consisting of  $C_2$ - $C_6$ -alkylene,  $C_2$ - $C_6$ -alkenylene, and a  $C_2$ - $C_6$ -alkenylene wherein the

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double bond of the  $C_2$ - $C_6$ -alkenylene is to ring E,

is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine and morpholine,

Pol

is selected from the group consisting of benzyl, G phenethyl, fluorenylmethyl, anthrylmethyl, diphenylmethyl, fluorenyl, dihydrodibenzocycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenyl-thienylmethyl, phenyl-pyridylmethyl, dihydrodibenzoxepinyl, dihydrodibenzothiepinyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, anthrylcarbonyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl, naphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolinyl-1-carbonyl, dihydrodibenzazepin-N-carbonyl, tetrahydroquinolinyl-Ncarbonyl, tetrahydrobenzo[b]azepinyl-N-carbonyl, methanesulfonyl, phenylsulfonyl, p-toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl, and diphenylphosphinoyl,

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkoxy, entirely or partially substituted by fluorine;

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 $\mathcal{P}^{\prime}$ 

benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino and di- $(C_1$ - $C_6$ -alkyl)-amino, wherein two adjacent groups in the ring or ring system may form an additional ring over a methylenedioxy bridge.

DL

56. (Twice amended) A pharmaceutical composition comprising one or more of the compounds according to formula (I) and pharmaceutically acceptable acid addition salts of formula (I)

$$R^{2}$$
 $A - C - N - D - E - G$ 
 $R^{4}$ 
 $(O)_{k}$ 
 $(I)$ 

wherein:

 $R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_4$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_2$ - $C_4$ -alkanoyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_2$ - $C_5$ -alkoxycarbonyl, aminocarbonyl,  $C_3$ - $C_9$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy,  $NR^5R^6$ , and bridged  $R^1R^2$ ; wherein

 $$\rm R^{5}$$  is selected from the group consisting of hydrogen and  $C_{1}\text{-}C_{6}\text{-}alkyl\,;$  and

 $$R^6$$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}alkyl\,;$ 

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 $\rm R^2$  is selected from the group consisting of hydrogen, halogen,  $\rm C_1\text{-}C_6\text{-}alkyl,$  trifluoromethyl and hydroxy and bridged  $\rm R^1R^2$ ;

wherein

bridged  $R^1R^2$  is where  $R^1R^2$  are adjacent and form a bridge which is selected from the group consisting of  $-(CH_2)_4-$ ,  $-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-$ ; wherein

 $R^7$  is selected from the group consisting of hydrogen, and  $C_1 - C_6 - alkyl;$  and

 $R^8$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}alkyl\,;$ 

 $R^3$  is selected from the group consisting of hydrogen, halogen and  $C_1\text{-}C_6\text{-}\text{alkyl}\,;$ 

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of  $\ensuremath{\text{C}_2\text{--}\text{C}_6\text{--}}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,  $C_4$ - $C_6$ -alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

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a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and ethinylene;

D is selected from the group consisting of

 $C_1-C_{10}$ -alkylene,

a substituted  $C_1$ - $C_{10}$ -alkylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

C<sub>2</sub>-C<sub>10</sub>-alkenylene,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

a  $C_2$ - $C_{10}$ -alkenylene wherein the double bond is to E,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy, wherein the double bond is to E,

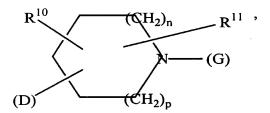
 $C_3-C_{10}$ -alkinylene,

a substitued  $C_3$ - $C_{10}$ -alkinylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

an isosterically replaced  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the isosterically replaced  $C_1$  to  $C_{10}$  group having methylene units and one to three of the methylene units are isosterically replaced by O, S, NR $^9$ , CO, SO or SO $_2$ ; wherein

 $R^9$  is selected from the group consisting of hydrogen,  $C_1\text{-}$   $C_3\text{-}alkyl,\ C_2\text{-}C_6\text{-}acyl$  and methanesulfonyl;

E is



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wherein n and p are, independent of each other, 0, 1, 2, or 3 wherein n + p  $\leq$  3,

P

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl, hydroxy, hydroxymethyl, carboxy and  $C_2$ - $C_7$ -alkoxycarbonyl;

 $R^{11}$  is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

G1 is  $-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$ wherein

r is 0, 1 or 2, and

s is 0 or 1,

 ${\bf R}^{12}$  is selected from the group consisting of hydrogen,

 $C_1-C_6-alkyl$ ,

 $C_3-C_6$ -alkenyl,

 $C_3-C_6$ -alkinyl,

C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O,  $\dot{}$ 

an anellated bi- and tricyclic aromatic or partially

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hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

 ${\bf R}^{13}$  has the same meaning as  ${\bf R}^{12},$  but is selected independently thereof;

 ${\bf R}^{14}$  is selected from the group consisting of hydrogen,

hydroxy,

methyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O;

G2 is selected from the group consisting of

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$ 

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- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

O

and

wherein R<sup>12</sup> and R<sup>14</sup> have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eightmembered heterocycles,

saturated and unsaturated monocyclic, four- to eight- membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is 
$$-SO_2 - (CH_2)_r - R^{12}$$
,

G4 is

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P  $Ar^2$ 

P

wherein

Ar is selected from the group consisting of phenyl, pridyl and naphthyl; and

 ${\rm Ar}^2$  is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is  $-COR^{15}$ ,

wherein

 $R^{15}$  is selected from the group consisting of trifluoromethyl,  $C_1\text{-}C_6\text{-}alkoxy,\ C_3\text{-}C_6\text{-}alkenyloxy}$  and benzyloxy; and

wherein aromatic rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  are unsubstituted or substituted, the substituted rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  having one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, and a substituted  $C_1$ - $C_6$ -alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino,

wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

R)3

64. (once amended) A method of inhibiting tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)

wherein:

 $R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_4$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_2$ - $C_4$ -alkanoyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_2$ - $C_5$ -alkoxycarbonyl, aminocarbonyl,  $C_3$ - $C_9$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy,  $NR^5R^6$ , and bridged  $R^1R^2$ ; wherein

 $R^{5}$  is selected from the group consisting of hydrogen and  $C_{1}\text{-}C_{6}\text{-}\text{alkyl}\,;$  and

 $R^6$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}alkyl\,;$ 

 $R^2$  is selected from the group consisting of hydrogen, halogen,  $C_1\text{-}C_6\text{-}alkyl$ , trifluoromethyl and hydroxy and bridged  $R^1R^2$ ;

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wherein

(P)3

bridged  $R^1R^2$  is where  $R^1R^2$  are adjacent and form a bridge which is selected from the group consisting of  $-(CH_2)_4-,-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-;$  wherein

 $\mbox{\ensuremath{R^{7}}}$  is selected from the group consisting of hydrogen, and  $\mbox{\ensuremath{C_{1}\text{-}C_{6}\text{-}alkyl};}$  and

 $R^{8}$  is selected from the group consisting of hydrogen and  $C_{1}\text{-}C_{6}\text{-}\text{alkyl}\,;$ 

 $R^3$  is selected from the group consisting of hydrogen, halogen and  $C_1\text{-}C_6\text{-}\text{alkyl}\,;$ 

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of  $\mathrm{C_2\text{-}C_6\text{-}}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and ethinylene;

D is selected from the group consisting of

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 $C_1-C_{10}$ -alkylene,

 $ot\! P^{\mathcal S}$ 

a substituted  $C_1-C_{10}$ -alkylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

 $C_2$ - $C_{10}$ -alkenylene,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

a  $C_2$ - $C_{10}$ -alkenylene wherein the double bond is to E,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy, wherein the double bond is to E,

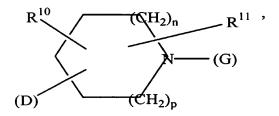
 $C_3-C_{10}$ -alkinylene,

a substitued  $C_3-C_{10}$ -alkinylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

a  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the  $C_1$  to  $C_{10}$  group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR $^9$ , CO, SO or SO $_2$ ; wherein

 $R^9$  is selected from the group consisting of hydrogen,  $C_1$ - $C_3$ -alkyl,  $C_2$ - $C_6$ -acyl and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2,

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or 3 wherein  $n + p \le 3$ ,



 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}alkyl,\ hydroxy,\ hydroxymethyl,\ carboxy\ and\ C_2\text{-}C_7\text{-}alkoxycarbonyl;}$ 

R<sup>11</sup> is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E; G is selected from the group consisting of hydrogen,

G1, G2, G3, G4 and G5; wherein

G1 is  $-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$ wherein

r is 0, 1 or 2, and

s is 0 or 1,

 ${\bf R}^{12}$  is selected from the group consisting of hydrogen,

 $C_1-C_6-alkyl$ ,

 $C_3-C_6$ -alkenyl,

 $C_3-C_6$ -alkinyl,

C3-C8-cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

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P3

a N, S, O anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring;

 ${\bf R}^{13}$  has the same meaning as  ${\bf R}^{12},$  but is selected independently thereof;

 ${\bf R}^{{\bf 14}}$  is selected from the group consisting of hydrogen,

hydroxy,

methyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O;

G2 is selected from the group consisting of

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$ 

- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

0

and

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P<sup>3</sup>

wherein  $R^{12}$  and  $R^{14}$  have the above meaning, and Q is a nitrogen-containing heterocycle, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eightmembered heterocycles,

saturated and unsaturated monocyclic, four- to eight- membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is 
$$-SO_2-(CH_2)_r-R^{12}$$
,

G4 is

$$P$$
 $Ar^2$ 

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wherein

P3

Ar is selected from the group consisting of phenyl, pridyl and naphthyl; and

Ar<sup>2</sup> is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is  $-COR^{15}$ ,

wherein

 $R^{15}\,$  is selected from the group consisting of trifluoromethyl,  $C_1-C_6-alkoxy,\ C_3-C_6-alkenyloxy$  and benzyloxy; and

wherein aromatic rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  are unsubstituted or substituted, the substituted rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  having one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy, and a substituted  $C_1$ - $C_6$ -alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino.

65. (Twice amended) A method of suppressing autoimmune disease in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune disease, wherein the pharmaceutical composition includes a compound of general formula (I) or a pharmaceutically acceptable acid addition salt of formula (I)



$$\begin{array}{c|c}
R^{2} & R^{3} & O \\
A - C - N - D - E - O \\
R^{4} & R^{4}
\end{array}$$
(I)

wherein:

 $\mathbf{R}^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_4$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_2$ - $C_4$ -alkanoyloxy,  $C_1$ - $C_4$ -alkylthio,  $C_2$ - $C_5$ -alkoxycarbonyl, aminocarbonyl,  $C_3$ - $C_9$ -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy,  $NR^5R^6$ , and bridged  $R^1R^2$ ; wherein

 $\mbox{R}^{5}$  is selected from the group consisting of hydrogen and  $\mbox{C}_{1}\mbox{-}\mbox{C}_{6}\mbox{-}\mbox{alkyl};$  and

 $$\rm R^6$$  is selected from the group consisting of hydrogen and  $\rm C_1\text{-}C_6\text{-}alkyl\,;$ 

 $R^2$  is selected from the group consisting of hydrogen, halogen,  $C_1\text{-}C_6\text{-}alkyl\text{,}$  trifluoromethyl and hydroxy and bridged  $R^1R^2\text{;}$ 

## wherein

bridged  $R^1R^2$  is where  $R^1R^2$  are adjacent and form a bridge which is selected from the group consisting of  $-(CH_2)_4-,-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-;$  wherein

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 $R^{7}$  is selected from the group consisting of hydrogen, and  $C_{1}\text{-}C_{6}\text{-}\text{alkyl}\,;$  and

 $R^8$  is selected from the group consisting of hydrogen and  $C_1\text{-}C_6\text{-}alkyl\,;$ 

 $\mbox{R}^3$  is selected from the group consisting of hydrogen, halogen and  $\mbox{C}_1\mbox{-}\mbox{C}_6\mbox{-}\mbox{alkyl}\,;$ 

 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of  ${\rm C_2\text{-}C_6\text{-}}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,  $C_4$ - $C_6$ -alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and ethinylene;

D is selected from the group consisting of  $C_1\text{-}C_{10}\text{-}alkylene$ ,

a substituted  $C_1-C_{10}$ -alkylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

 $C_2$ - $C_{10}$ -alkenylene,

a substituted  $C_2-C_{10}$ -alkenylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

a C2-C10-alkenylene wherein the double bond is to E,

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a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy, wherein the double bond is to E,

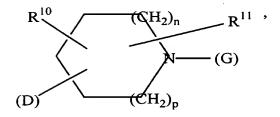
C<sub>3</sub>-C<sub>10</sub>-alkinylene,

a substitued  $C_3-C_{10}$ -alkinylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

a  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the  $C_1$  to  $C_{10}$  group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or  $SO_2$ ; wherein

 $R^9$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}alkyl,\ C_2\text{-}C_6\text{-}acyl$  and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3 wherein  $n + p \le 3$ ,

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}alkyl,\ hydroxy,\ hydroxymethyl,\ carboxy\ and\ C_2\text{-}C_7\text{-}alkoxycarbonyl;}$ 

 $R^{11}$  is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

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G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

 $P)^3$ 

```
G1 is -(CH_2)_r - (CR^{13}R^{14})_s - R^{12} wherein
```

r is 0, 1 or 2, and

s is 0 or 1,

 $R^{12}$  is selected from the group consisting of hydrogen,  $C_1 - C_6 - alkyl,$   $C_3 - C_6 - alkenyl,$   $C_3 - C_6 - alkinyl,$   $C_3 - C_8 - cycloalkyl,$  benzyl, phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O, which heterocycles are bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the carbocyclic ring

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and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring, and either directly or over a methylene group;

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 $\mathbb{R}^{13}$  has the same meaning as  $\mathbb{R}^{12}$ , but is selected independently thereof;

 ${\sf R}^{\sf 14}$  is selected from the group consisting of hydrogen,

hydroxy,

methyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group;

G2 is selected from the group consisting of

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$ 

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and

wherein R<sup>12</sup> and R<sup>14</sup> have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eight-membered heterocycles,

saturated and unsaturated monocyclic, four- to eight- membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is 
$$-SO_2 - (CH_2)_r - R^{12}$$
,

G4 is

$$P$$
 $Ar^{1}$ 
 $Ar^{2}$ 

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wherein

Ar 1 is selected from the group consisting of phenyl, pridyl and naphthyl; and

 ${\rm Ar}^2$  is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is  $-COR^{15}$ ,

wherein

 $R^{15}$  is selected from the group consisting of trifluoromethyl,  $C_1\text{-}C_6\text{-}alkoxy,\ C_3\text{-}C_6\text{-}alkenyloxy}$  and benzyloxy; and

wherein aromatic rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  are unsubstituted or substituted, the substituted rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  having one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkoxy, and a  $C_1$ - $C_6$  alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio, carboxy,  $C_2$ - $C_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $C_1$ - $C_6$ -alkylamino, and di- $(C_1$ - $C_6$ -alkyl)-amino.

66. (Once amended) A method of inhibiting tumor cell growth in a human or animal body comprising adminstering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, the pharmaceutical composition comprising (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide hydrochloride.

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D3

- 67. (Once amended) A method of suppressing autoimmune reactions in the human or animal body comprising adminstering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune reactions, the pharmaceutical composition comprising (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide hydrochloride.
- 68. (once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula (I)

$$\begin{array}{c|c}
R^{2} & R^{3} & O \\
A - C - N - D - E - G \\
R^{4} & R^{4}
\end{array}$$
(I)

wherein:

 ${\tt R}^1$  is selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl and hydroxy,

 $R^2$  and  $R^3$  are hydrogen,

R4 is hydrogen or hydroxy,

k is 0 or 1,

A is selected from the group consisting of  $C_2$ - $C_4$ -

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alkenylene,

a substituted  $C_2$ - $C_4$ -alkenylene which is substituted with fluorine,

1,3-butadienylene, and

a substituted 1,3-butadienylene which is substituted with fluorine,

D is selected from the group consisting of  $\mathrm{C_2}\text{-}\mathrm{C_6}\text{-}$  alkylene,

a C2-C6-alkenylene wherein the double bond is to E,

a substituted  $C_2$ - $C_6$ -alkinylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy, and

an isosterically replaced  $C_2$ - $C_6$ -alkylene wherein a methylene unit of the alkenylene is isosterically replaced by O, NH, N(CH<sub>3</sub>) or CO, or a  $C_2$ - $C_6$ -alkylene wherein an ethylene group of the alkenylene is isosterically replaced by NH-CO or CO-NH, or a  $C_2$ - $C_6$ -alkylene wherein a propylene group of the alkenylene is isosterically replaced by NH-CO-O or O-CO-NH,

E is selected from pyrrolidine, piperidine, 1,2,5,6-tetrahydropyridine, hexahydroazepine, morpholine and hexahydro-1,4-oxazepine,

G is selected from the group consisting of hydrogen, tert-butoxycarbonyl, diphenylphosphinoyl,

$$-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$$
,

- C - 
$$(CH_2)_r$$
 -  $(CR^{13}R^{14})_s$  -  $R^{12}$  '



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BS

 $-SO_2 - (CH_2)_r R^{12}$ ,

and

wherein r is 0 or 1, and s is 0 or 1,

R12 is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolinyl, benzothiazolyl, oxobenāthiazolinyl, benzimidazolyl, oxobenzimidazolinyl, benzofurazanyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolinyl, tetrahydroquinolyl, oxotetrahydroquinolinyl, benzodioxanyl, quinazolinyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl,

oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, and dihydrodibenzothiazepinyl,

 $\rho^3$ 

 $R^{13}$  is selected from the group consisting of hydrogen, methyl, benzyl or and phenyl,

 $R^{14}$  is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl, and

the group consisting of naphthyl, furyl, thienyl, pyridyl, benzofuryl, benzothienyl, indolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl and tetrahydroquinolyl,

wherein Q is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine, morpholine, 2,5-diazabicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (11H)-dihydrodibenzo[b,e]oxazepine and (11H)-dihydrodibenzo[b,e]thiazepine, wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

69. (Once amended) A method for the production of compounds having general formula (I)

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(I)

the method comprising:

reacting a carboxylic acids of formula (II)

(II)

with compounds of formula (III)

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BB

wherein

 $R^1$  is selected from the group consisting of hydrogen, halogen, cyano,  $C_1\text{-}C_6\text{-}alkyl$ , trifluoromethyl,  $C_3\text{-}C_8\text{-}cycloalkyl$ ,  $C_1\text{-}C_4\text{-}hydroxyalkyl$ , hydroxy,  $C_1\text{-}C_4\text{-}alkoxy$ , benzyloxy,  $C_2\text{-}C_4\text{-}alkanoyloxy}$ ,  $C_1\text{-}C_4\text{-}alkylthio}$ ,  $C_2\text{-}C_5\text{-}alkoxycarbonyl}$ , aminocarbonyl,  $C_3\text{-}C_9\text{-}dialkylaminocarbonyl}$ , carboxy, phenyl, phenoxy, pyridyloxy,  $NR^5R^6$ , and bridged  $R^1R^2$  wherein

 $\mbox{R}^{5}$  is selected from the group consisting of hydrogen and  $\mbox{C}_{1}\mbox{-}\mbox{C}_{6}\mbox{-}\mbox{alkyl},$  and

 $R^6$  is selected from the group consisting of hydrogen and  $\mbox{\ensuremath{\text{C}}}_1\mbox{-}\mbox{\ensuremath{\text{C}}}_6\mbox{-}\mbox{alkyl}\,,$ 

 $R^2$  is selected from the group consisting of hydrogen, halogen,  $C_1\text{-}C_6\text{-}alkyl\text{,}$  trifluoromethyl and hydroxy and bridged  $R^1R^2$ 

wherein

bridged  $R^1R^2$  is where  $R^1R^2$  are adjacent and form a bridge which is selected from the group consisting of  $-(CH_2)_4-$ ,  $-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-$ , wherein

 $\mbox{\ensuremath{R}}^7$  is selected from the group consisting of hydrogen, and  $\mbox{\ensuremath{C}}_1\mbox{-}\mbox{\ensuremath{C}}_6\mbox{-alkyl}$  and

 $R^8$  is selected from the group consisting of hydrogen and  $\mbox{C}_1\mbox{-}\mbox{C}_6\mbox{-}\mbox{alkyl}\text{,}$ 

 $R^3$  is selected from the group consisting of hydrogen, halogen and  $C_1\text{--}C_6\text{--alkyl}\,,$ 

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 $R^4$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl, hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy,



k is 0 or 1,

A is selected from the group consisting of  $C_2\text{-}C_6\text{-}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,  $C_4$ - $C_6$ -alkadienylene,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl,

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and ethinylene,

D is selected from the group consisting of  $C_1\text{-}C_{10}\text{-}alkylene$ ,

a substituted  $C_1$ - $C_{10}$ -alkylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,  $C_2$ - $C_{10}$ -alkenylene,

a substituted  $C_2$ - $C_{10}$ -alkenylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

 $C_3$ - $C_{10}$ -alkinylene,

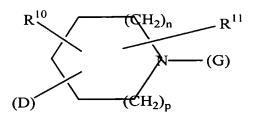
a substitued  $C_3-C_{10}$ -alkinylene which is substituted once or twice by  $C_1-C_3$ -alkyl or hydroxy,

an isosterically replaced  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the isosterically replaced  $C_1$  to  $C_{10}$  group having methylene units and one to three of the methylene units are isosterically replaced by O, S, NR $^9$ , CO, SO or SO $_2$ , wherein

 $R^9$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}\text{alkyl},\ C_2\text{-}C_6\text{-}\text{acyl}$  and methanesulfonyl,



E is



wherein n and p are, independent of each other, 0, 1, or 2, wherein n + p = 2,

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-alkyl},$  hydroxy, hydroxymethyl, carboxy and  $C_2\text{-}C_7\text{-}$  alkoxycarbonyl,

 ${\ensuremath{R^{11}}}$  is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E,

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5, wherein

G1 is  $-(CH_2)_r - (CR^{13}R^{14})_s - R^{12}$ wherein

r is 0, 1 or 2, and

s is 0 or 1,

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DE
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R<sup>12</sup> is selected from the group consisting of hydrogen,
C<sub>1</sub>-C<sub>6</sub>-alkyl,
C<sub>3</sub>-C<sub>6</sub>-alkenyl,
C<sub>3</sub>-C<sub>6</sub>-alkinyl,
C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,
benzyl,
phenyl,
```

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O, the N, S and O being either bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carboxylic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the carbocylic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring, and either directly or over a methylene group,

 $\ensuremath{R^{13}}$  has the same meaning as  $\ensuremath{R^{12}}$  , but is selected independently thereof,

R<sup>14</sup> is selected from the group consisting of hydrogen, hydroxy, methyl,

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benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carobocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group,

G2 is selected from the group consisting of

- C - 
$$(CH_2)_r$$
 -NR<sup>12</sup>R<sup>14</sup>

O

and

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wherein R<sup>12</sup> and R<sup>14</sup> have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eightmembered heterocycles, and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms,

G3 is 
$$-SO_2 - (CH_2)_r - R^{12}$$
,

$$O = Ar^{1}$$

$$Ar^{2}$$

wherein

 $\mbox{\rm Ar}^1$  is selected from the group consisting of phenyl, pridyl and naphthyl and

Ar<sup>2</sup> is selected from the group consisting of phenyl, pyridyl and naphthyl,

G5 is 
$$-COR^{15}$$
.

wherein

 $R^{15}$  is selected from the group consisting of trifluoromethyl,  $C_1\text{-}C_6\text{-}alkoxy,\ C_3\text{-}C_6\text{-}alkenyloxy}$  and benzyloxy, and

P) =

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wherein aromatic rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  are unsubstituted or substituted, the substituted rings in  $R^1$ ,  $R^4$ ,  $R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ , Q,  $Ar^1$  and  $Ar^2$  having one to three substituents which are independently selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy, and  $C_1$ - $C_6$ -alkoxy.

70. (Once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula I

$$R^1$$
 $A$ -C-N-D-E-G
 $R^4$ 

wherein:

 $R^1 = H \text{ or } F$ 

k is 0 or 1,

A is selected from the group consisting of  $C_2\text{-}C_6\text{-}$  alkenylene,

a substituted  $C_2$ - $C_6$ -alkenylene which is substituted one to three-fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano, or phenyl,

a substituted  $C_4$ - $C_6$ -alkadienylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl, fluorine, cyano, or phenyl,

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by  $C_1$ - $C_3$ -alkyl, fluorine, or cyano, and

D=

ethinylene;

 $R^4$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_6\text{-}alkyl,\ C_3\text{-}C_6\text{-}alkenyl,\ hydroxy,\ }C_1\text{-}C_6\text{-}alkoxy\ and\ benzyloxy;}$ 

D is selected from the group consisting of  $C_1 - C_{10}$ -alkylene,

a substituted  $C_1\text{-}C_{10}\text{-}alkylene$  which is substituted once or twice by  $C_1\text{-}C_3\text{-}alkyl$  or hydroxy,

 $C_2$ - $C_{10}$ -alkenylene,

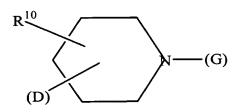
a substituted  $C_2\text{-}C_{10}\text{-}alkenylene}$  which is substituted once or twice by  $C_1\text{-}C_3\text{-}alkyl$  or hydroxy,

 $C_3-C_{10}$ -alkinylene,

a substituted  $C_3$ - $C_{10}$ -alkinylene which is substituted once or twice by  $C_1$ - $C_3$ -alkyl or hydroxy,

an isosterically replaced  $C_1$  to  $C_{10}$  group selected from the group consisting of  $C_1$ - $C_{10}$ -alkylene,  $C_2$ - $C_{10}$ -alkenylene and  $C_3$ - $C_{10}$ -alkinylene, the isoterically replaced  $C_1$  to  $C_{10}$  group having methylene units and one to three of the methylene units being isosterically replaced by O, S, NR $^9$  CO, SO or SO $_2$ ;

 $R^9$  is selected from [selected from] the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}alkyl\,,\ C_2\text{-}C_6\text{-}acyl$  and methanesulfonyl; E is



**G** is selected from the group consisting of  $-(CH_2)_r - (CR^{13}R^{14})_sR^{12}$ ,

-C-
$$(CH_2)_r$$
- $(CR^{13}R^{14})_sR^{12}$ ,

$$-C - (CH_2)_r - NR^{12}R^{14}$$
,

 $-SO_{2}(CH_{2})_{r}R^{12}$ ,



r=0, 1 or 2, s=0 or 1,

 $R^{12}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_8$ -cycloalkyl, benzyl phenyl, and substituted phenyl which substituted phenyl is substituted with one to three substitutents selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy, and  $C_1$ - $C_6$ -alkoxy;

 $R^{13}$  is selected from the group consisting of hydrogen,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_8$ -cycloalkyl, benzyl phenyl, and substituted phenyl which substituted phenyl is substituted with one to three substitutents selected from the group consisting of halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy, and  $C_1$ - $C_6$ -alkoxy;

 ${\tt R}^{14}$  is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl;

 $R^{15}$  is selected from trifluoromethyl,  $C_1-C_6-alkoxy$ ,  $C_3-C_6-alkoxy$  and benzyloxy;

 $R^{10}$  is selected from the group consisting of hydrogen,  $C_1\text{-}C_3\text{-}alkyl,$  hydroxy, hydroxymethyl, carboxy and  $C_2\text{-}C_7\text{-}$  alkoxycarbonyl;

and wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

71. (Once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, fluorine, chlorine, methoxy, methyl, and hydroxy;

R4 is hydrogen, methyl or hydroxy;

k is 0 or 1;

 $\boldsymbol{A}$  is selected from the group consisting of  $\text{C}_2\text{-}\text{C}_4\text{-}$  alkenylene,

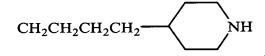
a substituted  $C_2$ - $C_4$ -alkenylene which is substituted with fluorine, cyano, hydroxy and methyl,

1,3-butadienylene, and

a substituted 1,3-butadienylene which is substituted with fluorine;

DEG when together form the structure selected from the group consisting of

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$$CH_2CH_2CH_2CH_2 - CH_3 - CH_3$$

$$CH_2CH_2$$
 $N$ 

$$CH_2$$

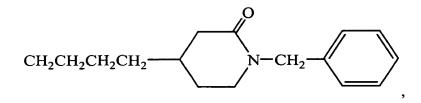
$$CH_2CH_2CH_2CH_2$$

$$CH_2CH_2CH_2O$$
  $N-CH_2$ 

$$\begin{array}{c} H_3C \\ \\ CH_2CH_2CH_2CH_2 \\ \end{array} \\ \begin{array}{c} N-CH_2(C_6H_5) \\ \end{array}$$

$$CH_2CH_2CH_2CH_2 \\ \hline \\ N-CH_2(C_6H_5)$$

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$$CH_2CH_2CH_2CH_2 - \bigcirc N - CH_2 - \bigcirc OH$$

$$CH_2CH_2CH_2CH_2$$

$$CH_2CH_2$$

$$CH_2CH_2CH_2CH_2$$

$$CH_2CH_2CH_2CH_2$$
 $N-CH_2$ 
 $N$ 
 $O$ 

$$N$$
— $CH(C_6H_5)_2$ 
 $CH_2CH_2$ 

$$CH_2CH_2CH_2$$
 $N-CH(C_6H_5)_2$ 

$$CH_2CH_2NH - N-CH(C_6H_5)_2$$
, 
$$CH_2CH_2CH_2CH_2 - N-CH(C_6H_5)_2$$

$$CH_2CH_2NH$$
— $C$ — $N$ — $CH(C_6H_5)_2$ 

$$CH_2C \equiv CCH_2 - N - CH(C_6H_5)_2$$

$$CH_2CH_2CH_2CH_2$$
  $N-CH(C_6H_5)_2$ 

$$CH_2CH_2CH_2OCH_2$$
 N- $CH(C_6H_5)_2$ 

$$OCH_2CH_2CH_2CH_2$$
  $N-CH(C_6H_5)_2$ 

$$\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$$

$$\text{N-CH}(\text{C}_6\text{H}_5)_2$$

$$\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$$

$$\text{N-CH}(\text{C}_6\text{H}_5)_2$$

$$\begin{array}{c|c} & & \\ & &$$

$$(CH_2)_8$$
 N-CH $(C_6H_5)_2$ 

$$N-CH(C_6H_5)_2$$
 $(CH_2)_6NH-C$ 
 $0$ 

$$\begin{array}{c} \text{H}_{3}\text{C} \\ \text{CH}_{2}\text{CH}_{2}\text{CH}_{2}\text{CH}_{2} \\ \end{array} \text{N-CH}(\text{C}_{6}\text{H}_{5})_{2}$$

$$\begin{array}{c} \text{HO} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \end{array} \\ \text{N-CH}(\text{C}_6\text{H}_5)_2 \\ \end{array}$$

CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>

B3

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

CH<sub>2</sub>CH<sub>2</sub>

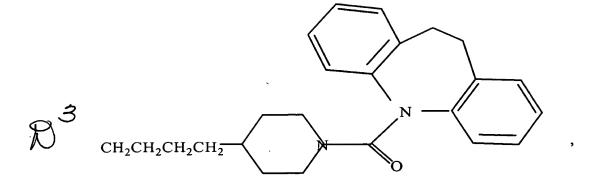
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CH<sub>2</sub>CH<sub>2</sub>N

$$(CH_2)_5$$

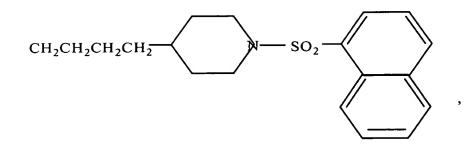
$$CH_2CH_2$$
 $O$ 

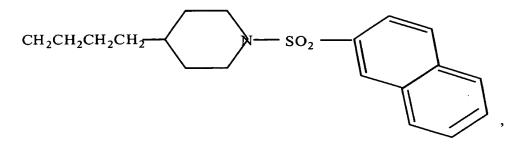
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$$CH_2$$
  $-SO_2$   $-CH_3$ 

$$CH_2CH_2CH_2CH_2$$
  $CH_3$ 





$$(CH_2)_6$$
  $SO_2$ 

$$(CH_2)_6$$
  $SO_2$ 

$$CH_2CH_2CH_2$$
  $SO_2$ 

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D3

$$CH_2CH_2$$
  $SO_2$   $CH_3$   $CI$ 

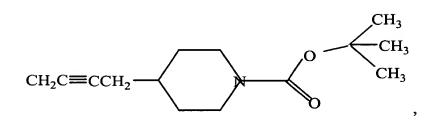
p<sup>3</sup>

$$\mathsf{CH_2CH_2CH_2CH_2} \longleftarrow \mathsf{CF_3}$$

$$\begin{array}{c} \text{CH}_3 \\ \text{CH}_2 \text{CH}_2 \end{array}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$
 $CH_{3}$ 
 $CH_{3}$ 
 $CH_{3}$ 

$$CH_{2}CH=CHCH_{2} \\ CH_{3} \\ CH_{4} \\ CH_{5} \\$$



CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>

$$CH_2$$

77. A method of inhibiting tumor cell growth according to claim 64, wherein DEG is selected from the group consisting of

$$-CH_2CH_2CH_2CH_2 \longrightarrow N - CH_3$$

$$CH_2CH_2CH_2CH_2 \longrightarrow N - CH_3$$

$$CH_2CH_2CH_2CH_2 \longrightarrow N - CH_2CH_2CH_2 \longrightarrow N - CH_2CH_2 \longrightarrow N - CH_2 \longrightarrow N -$$

$$CH_2$$
- $CH_2$ - $CH_2$ 

D<sup>3</sup> Cont

$$CH_2CH_2CH_2CH_2$$

$$H_3C$$
 $CH_2CH_2CH_2CH_2$ 
 $N$ 
 $-CH_2(C_6H_5)$ 

$$\begin{array}{c} \text{HO} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \end{array} \\ \text{N-CH}_2(\text{C}_6\text{H}_5)$$

$$CH_2CH_2CH_2CH_2$$
 $N-CH_2$ 

D Cont

$$CH_2CH_2CH_2CH_2 - \bigcirc N - CH_2 - \bigcirc OH$$

$$CH_2CH_2CH_2CH_2 \\ \hline \\ N-CH_2 \\ \hline \\ \\ N-CH_2 \\ \hline \\ \\ \\ N-CH_2 \\ \hline \\ \\ \\ N-CH_2 \\ \hline \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ \\ N-CH_2 \\ \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ N-CH_2 \\ \\ \\ N-CH_$$

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D'S cont

$$CH_2CH_2$$

Cont

$$CH_2CH_2CH_2CH_2$$

$$N$$
- $CH(C_6H_5)_2$ 
 $CH_2CH_2$ 

$$CH_2CH_2CH_2$$
 N- $CH(C_6H_5)_2$ 

$$CH_2CH_2NH$$
 $N-CH(C_6H_5)_2$ 

$$CH_2CH_2CH_2CH_2$$
 N- $CH(C_6H_5)_2$ 

$$CH_2CH_2NH$$
 $C$ 
 $N$ 
 $N$ 
 $CH(C_6H_5)_2$ 

$$CH_2CH_2CH_2CH=$$
 $N-CH(C_6H_5)_2$ 

$$CH_2C \equiv CCH_2$$
  $N-CH(C_6H_5)_2$ 

$$CH_2CH_2CH_2CH_2CH_2 - N-CH(C_6H_5)_2$$

$$CH_2CH_2CH_2OCH_2$$
 N-CH(C<sub>6</sub>H<sub>5</sub>)<sub>2</sub>

$$OCH_2CH_2CH_2CH_2$$
 $N-CH(C_6H_5)_2$ 

$$\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$$

P3

$$CH_2CH_2CH_2CH_2CH_2$$
  $N-CH(C_6H_5)_2$ 

$$\begin{array}{c|c} & & \\ & &$$

$$(CH_2)_8$$
 N-CH $(C_6H_5)_2$ 

$$N-CH(C_6H_5)_2$$
 $(CH_2)_6NH-C$ 
 $\parallel$ 
 $O$ 

$$\begin{array}{c} \text{H}_3\text{C} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \hline \end{array} \text{N-CH}(\text{C}_6\text{H}_5)_2 \\ \end{array}$$

$$CH_2CH_2CH_2CH_2 \longrightarrow N-CH(C_6H_5)_2$$

 $e^3$ 

D3 Cont

D3 cont

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$$CH_2CH_2CH_2$$
  $CH_3$   $CH_3$ 

Pont

$$CH_2CH_2$$
 $N$ 

$$O^3$$
  $CH_2$   $O$ 

$$\begin{array}{c} H_3C \\ \\ CH_2CH_2CH_2CH_2 \end{array}$$

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$$CH_2CH_2N$$
 $CH_3$ 
 $CH_3$ 

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$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

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D3 Cont

$$CH_2CH_2CH_2CH_2$$

$$O$$

$$\begin{array}{c} \text{CH}_3 \\ \text{N} \longrightarrow \text{CH}_3 \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \end{array}$$

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$$CH_{3} \longrightarrow CH_{3}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$H$$

$$N$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

Cost

$$CH_2CH_2$$

$$\mathsf{CH_2CH_2CH_2CH_2}$$

$$CH_2$$
  $CH_3$ 

$$CH_2CH_2CH_2$$
  $CH_3$   $CH_3$ 

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$$(CH_2)_6$$
  $SO_2$ 

$$CH_2CH_2$$
  $SO_2$   $CH_3$ 

$$CH_2CH_2CH_2CH_2$$
  $CH_3$   $CH_3$ 

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$$\mathcal{A}$$
 $(CH_2)_6$ 
 $P$ 
 $O$ 

$$CH_2CH_2CH_2$$

$$\mathsf{CH}_2\mathsf{CH}_2\mathsf{CH}_2\mathsf{CH}_2$$

$$CH_3$$
 $CH_3$ 
 $CH_3$ 
 $CH_3$ 

$$\begin{array}{c} \text{CH}_3\\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\end{array}$$

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$$CH_{2}C \equiv CCH_{2}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_2$$

$$CH_2CH_2CH_2$$

$$CH_2$$

PA

82. A method of suppressing autoimmune disease according to claim 65, wherein DEG is selected from the group consisting of

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}O$$

$$N-H$$

$$-CH_{2}CH_{2}CH_{2}CH_{2}$$

$$N-CH_{3}$$

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$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

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$$CH_2CH_2CH_2CH_2$$
 $N-CH_2$ 
 $N-CH_2$ 

$$\begin{array}{c} \text{H}_3\text{C} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \end{array} \\ \text{N-CH}_2(\text{C}_6\text{H}_5) \\ \end{array}$$

$$\begin{array}{c} \text{HO} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \end{array} \text{N-CH}_2(\text{C}_6\text{H}_5) \\ \end{array}$$

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$$CH_2CH_2CH_2CH_2$$
 $N-CH_2$ 

DY cord

$$CH_2CH_2CH_2CH_2$$
 OH

$$CH_2CH_2CH_2CH_2$$
  $N-CH_2$   $OCH_3$ 

$$CH_2CH_2$$

sord

$$N$$
-CH(C<sub>6</sub>H<sub>5</sub>)<sub>2</sub>  
CH<sub>2</sub>CH<sub>2</sub>

$$CH_2CH_2CH_2$$
 N- $CH(C_6H_5)_2$ 

$$CH_2CH_2NH \longrightarrow N-CH(C_6H_5)_2$$
,
$$CH_2CH_2CH_2CH_2 \longrightarrow N-CH(C_6H_5)_2$$

$$CH_2CH_2NH \longrightarrow C \longrightarrow N-CH(C_6H_5)_2$$
,

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$N-CH(C_{6}H_{5})_{2}$$

$$N-CH(C_{6}H_{5})_{2}$$

$$N-CH(C_{6}H_{5})_{2}$$

$$N-CH(C_{6}H_{5})_{2}$$

$$N-CH(C_{6}H_{5})_{2}$$

CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>

$$OCH_2CH_2CH_2CH_2$$
 $N-CH(C_6H_5)_2$ 

 $N-CH(C_6H_5)_2$ 

$$\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$$

$$\text{N-CH}(\text{C}_6\text{H}_5)_2$$

$$\begin{array}{c} & \\ & \\ N-CH(C_6H_5)_2 \\ \\ CH_2CH_2CH_2NH-C \\ \parallel \\ O \end{array}$$

$$(CH_2)_8$$
 N-CH $(C_6H_5)_2$ 

$$(CH_2)_6NH - C \\ \parallel \\ O$$

$$\begin{array}{c} \text{H}_3\text{C} \\ \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \\ \end{array} \\ \begin{array}{c} \text{N-CH}(\text{C}_6\text{H}_5)_2 \\ \end{array}$$

$$\begin{array}{c} \text{HO} \\ \text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2 \\ \end{array} \text{N-CH}(\text{C}_6\text{H}_5)_2$$

104 cont

$$CH_2CH_2CH_2CH_2$$

$$CH_2CH_2CH_2CH_2$$

O4 Cont

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04 Cont

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109 cord

Conf

$$CH_2CH_2CH_2CH_2$$

$$H_3C$$

$$CH_2CH_2CH_2CH_2$$
 $N$ 
 $S$ 

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Cont.

$$CH_2CH_2CH_2$$
  $N$   $CH_3$   $O$ 

$$CH_{2}CH_{2}CH_{2}CH_{2}CH_{2}$$

Dy cont

$$\begin{array}{c} H_3C \\ \\ CH_2CH_2CH_2CH_2 \\ \end{array}$$

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Pont Cont

$$\mathrm{CH_{2}CH_{2}CH_{2}CH_{2}}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}$$

-140-

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_2CH_2CH_2CH_2$$

$$CH_3$$
 $N$ 
 $CH_2CH_2CH_2CH_2$ 
 $O$ 
 $O$ 

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$$CH_{3} \longrightarrow CH_{3}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

D4 cont

$$CH_2CH_2$$

DY Cont

$$CH_2$$
 —  $CH_3$ 

$$CH_2CH_2CH_2$$
  $CH_3$   $CH_3$ 

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Pont

$$(CH_2)_6$$
  $SO_2$ 

$$(CH_2)_6$$
  $SO_2$ 

$$CH_2CH_2 \longrightarrow SO_2 \longrightarrow CH_3$$

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$$CH_2CH_2CH_2CH_2$$

$$\mathsf{CH}_2\mathsf{CH}_2\mathsf{CH}_2\mathsf{CH}_2$$

$$\begin{array}{c} \text{CH}_3\\ \text{CH}_2\text{CH}_2 \end{array}$$

$$CH_{2}CH_{2}CH_{2}CH_{2}$$

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$$CH_{2}C \equiv CCH_{2}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_{3}$$

$$CH_2$$

$$CH_2$$

Atty Dkt No. 64978

09/216,075

$$CH_2CH_2CH_2$$
 and 
$$CH_2CH_2$$

## PLEASE ADD THE FOLLOWING NEW CLAIMS:

- 83. A compound according to claim 42 wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.
- 84. A compound according to claim 56 wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.
- 85. A compound according to claim 64 wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.

D'S Cont